(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 19 May 2005 (19.05.2005)

PCT

(10) International Publication Number WO 2005/044802 A2

(51) International Patent Classification⁷:

C07D 215/00

(21) International Application Number:

PCT/EP2004/012051

(22) International Filing Date: 26 October 2004 (26.10.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

03025575.6

8 November 2003 (08.11.2003) EF

- (71) Applicant (for all designated States except US): BAYER HEALTHCARE AG [DE/DE]; 51368 Leverkusen (DE).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): Axel [DE/DE]; Rabenweg 69, 42115 Wuppertal (DE). DIEDRICHS, Nicole [DE/DE]; Laurentiusstr. 42103 Wuppertal (DE). HERMANN, Achim [DE/DE]; 150, 40233 Düsseldorf (DE). LUSTIG, Ackerstr. Klemens [DE/DE]; Falkenberg 159, 42113 Wuppertal (DE). MEIER, Heinrich [DE/DE]; Claudiusweg 3, 42115 Wuppertal (DE). PERNERSTORFER, Josef [AT/DE]; Gerresheimer Str. 9, 40721 Hilden (DE). REISSMÜLLER, Elke [DE/DE]; Palkestr. 5, 42115 Wuppertal (DE). MOGI, Muneto [JP/JP]; 5-10-57-102 Daianji, Nara-shi, Nara 630-8133 (JP). FUJISHIMA, Hiroshi [JP/JP]; 3-23-25, Sakyo, Nara-shi, Nara 631-0801 (JP). TAJIMI, Masaomi [JP/JP]; 1-8-17, Sakuragaoka, Seika-cho, Soraku-gun, Kyoto-fu, Kyoto 619-0232 (JP).

YAMAMOTO, Noriyuki [JP/JP]; 6-6-1-3-404 Jungu, Nara-shi, Nara-ken, Nara 631-0804 (JP).

- (74) Common Representative: BAYER HEALTHCARE AG; Law and Patents, Patents and Licensing, 51368 Leverkusen (DE).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

 without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: TETRAHYDRO-QUINOLINYLUREA DERIVATIVES

(57) Abstract: This invention relates to tetrahydro-quinolinylurea derivatives and salts thereof which are useful as active ingredients of pharmaceutical preparations. The tetrahydro-quinolinylurea derivative of the present invention has vanilloid receptor (VR1) antagonistic activity, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urological diseases or disorders, such as detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor oeractivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischaemia, neurodegeneration, stroke, and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD).

